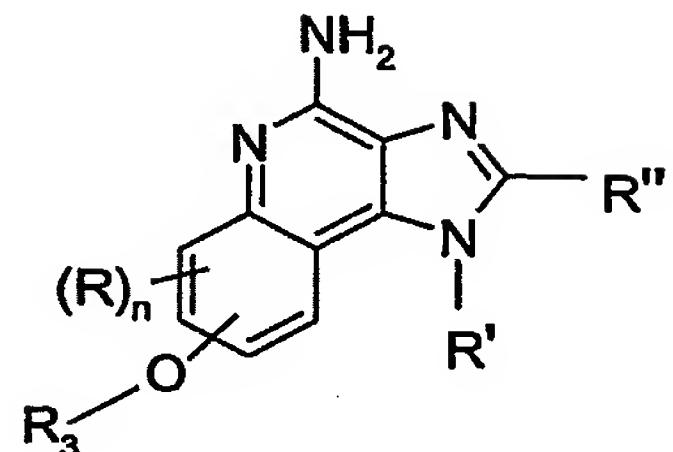


What is claimed is:

1. A compound of the formula (I):



I

wherein:

R₃ is selected from the group consisting of:

- Z-Ar,
- Z-Ar'-Y-R₄,
- Z-Ar'-X-Y-R₄,
- Z-Ar'-R₅, and
- Z-Ar'-X-R₅;

Z is selected from the group consisting of a bond, alkylene, alkenylene, and alkynylene wherein alkylene, alkenylene, and alkynylene are optionally interrupted with -O-;

Ar is selected from the group consisting of aryl and heteroaryl both of which can be unsubstituted or can be substituted by one or more substituents independently selected from the group consisting of alkyl, alkenyl, alkoxy, methylenedioxy, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, hydroxyalkyl, mercapto, cyano, carboxy, formyl, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, heterocyclalkylenyl, amino, alkylamino, and dialkylamino;

Ar' is selected from the group consisting of arylene and heteroarylene both of which can be unsubstituted or can be substituted by one or more substituents independently selected from the group consisting of alkyl, alkenyl, alkoxy, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, hydroxyalkyl, mercapto, cyano, carboxy, formyl, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, heterocyclalkylenyl, amino, alkylamino, and dialkylamino;

X is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted by arylene, heteroarylene or heterocyclene or by one or more

5 -O- groups;

Y is selected from the group consisting of:

-S(O)₀₋₂₋,

-S(O)₂-N(R₈)-,

-C(R₆)-,

10 -C(R₆)-O-,

-O-C(R₆)-,

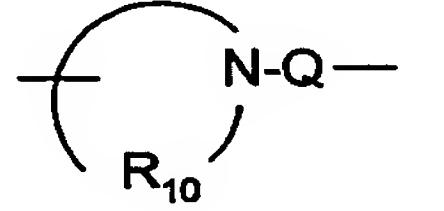
-O-C(O)-O-,

-N(R₈)-Q-,

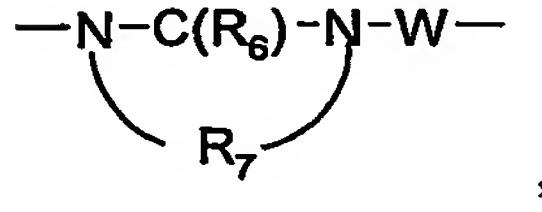
-C(R₆)-N(R₈)-,

15 -O-C(R₆)-N(R₈)-,

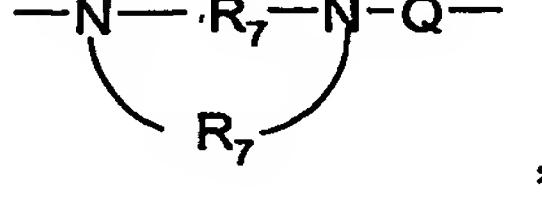
-C(R₆)-N(OR₉)-,



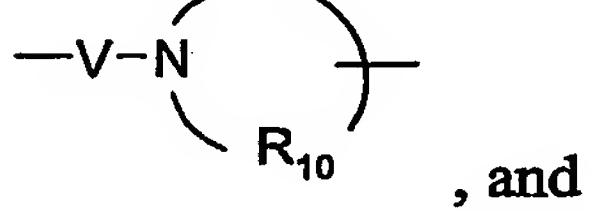
,



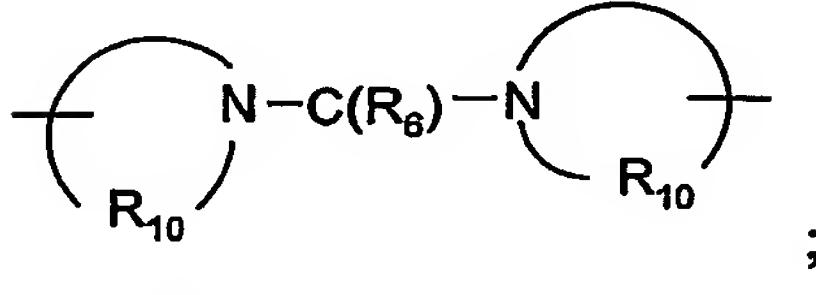
,



,



, and

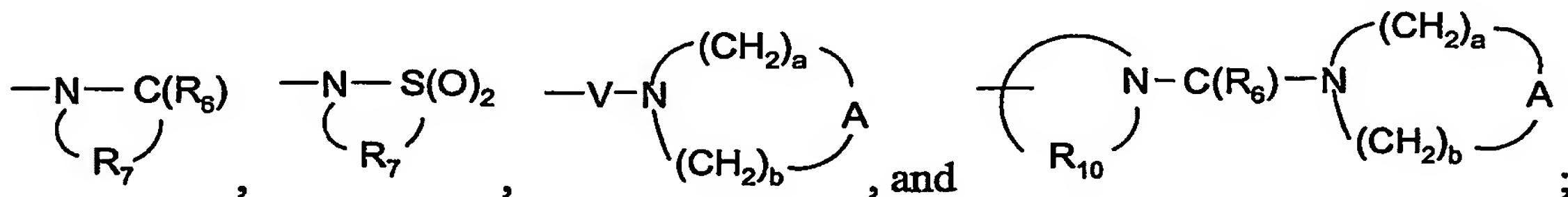


;

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl,

alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

5 R_5 is selected from the group consisting of:



10 each R_6 is independently selected from the group consisting of =O and =S;

each R_7 is independently C_{2-7} alkylene;

15 R_8 is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

R_9 is selected from the group consisting of hydrogen and alkyl;

each R_{10} is independently C_{3-8} alkylene;

20 A is selected from the group consisting of -O-, -C(O)-, -S(O)₀₋₂-, -CH₂-, and -N(R₄)-;

Q is selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

25 V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7 ;

R is selected from the group consisting of alkyl, alkoxy, hydroxy, halogen, and trifluoromethyl;

25 R' and R" are independently selected from the group consisting of hydrogen and non-interfering substituents; and

n is 0 or 1;

or a pharmaceutically acceptable salt thereof.

2. The compound or salt of claim 1 wherein n is 0.

5 3. The compound or salt of claim 1 or claim 2 wherein R₃ is selected from the group consisting of -Z-Ar, -Z-Ar'-X-Y-R₄, and -Z-Ar'-Y-R₄.

4. The compound or salt of claim 3 wherein R₃ is -Z-Ar.

10 5. The compound or salt of claim 3 wherein R₃ is -Z-Ar'-X-Y-R₄, or -Z-Ar'-Y-R₄ wherein Y is -S(O)₂-, -N(R₈)-S(O)₂-, -C(R₆)-, or -C(R₆)-O-; X is C₁₋₂ alkylene; and R₄ is alkyl or phenyl.

15 6. The compound or salt of claim 4 wherein Ar is phenyl or heteroaryl which is unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, nitro, cyano, carboxy, halogen, hydroxyalkyl, amino, alkylamino, dialkylamino, trifluoromethyl, trifluoromethoxy, and thienyl.

20 7. The compound or salt of claim 6 wherein heteroaryl is selected from the group consisting of benzothiazolyl, furanyl, imidazolyl, indolyl, isoxazolyl, oxadiazolyl, pyrazinyl, pyridinyl, pyrrolyl, thiazolyl, and thienyl.

8. The compound or salt of any one of claims 1 through 7 wherein Z is a bond, alkylene, or alkylene interrupted by -O-.

25

9. The compound or salt of claim 8 wherein Z is C₁₋₃ alkylene.

10. The compound or salt of claim 8 wherein Z is a bond.

30 11. The compound or salt of any one of claims 1 through 10 wherein R' is selected from the group consisting of:

-R₄,

$-X-R_4$,
 $-X-Y-R_4$,
 $-X-Y-X-Y-R_4$, and
 $-X-R_5$.

5

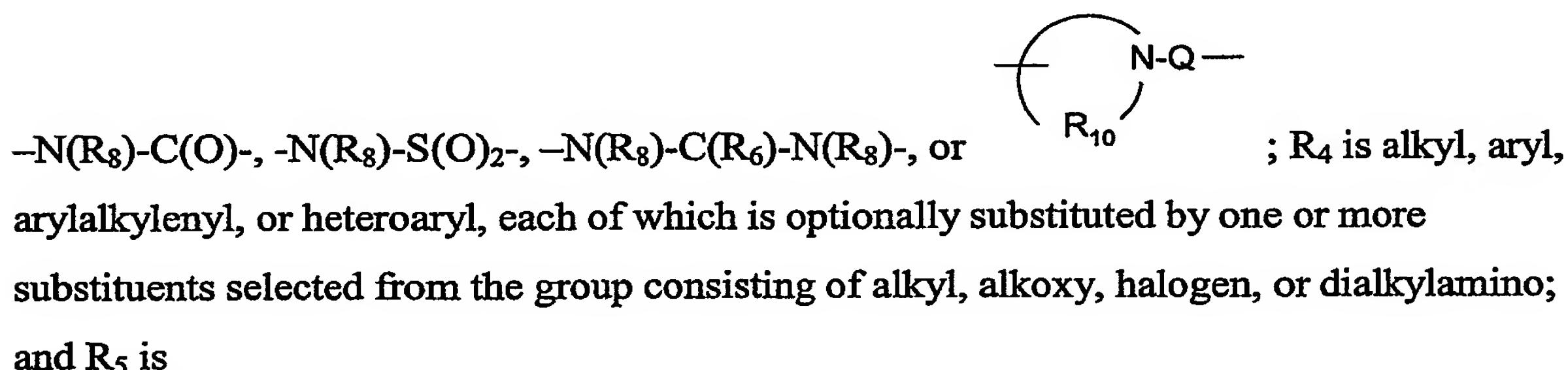
12. The compound or salt of any one of claims 1 through 10 wherein R" is selected from the group consisting of:

10

$-R_4$,
 $-X-R_4$,
 $-X-Y-R_4$, and
 $-X-R_5$.

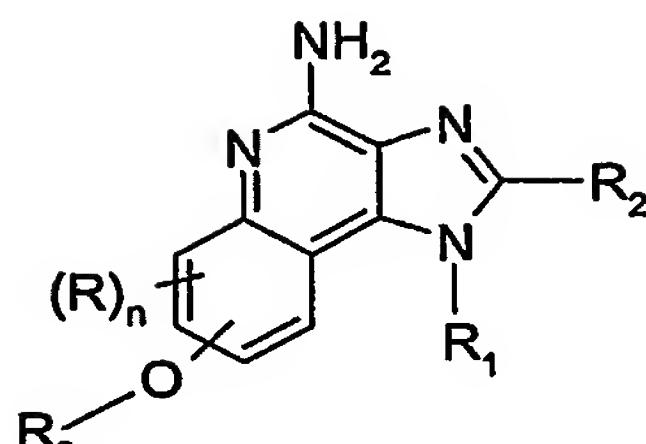
13. The compound or salt of claim 11 wherein R' is selected from the group
consisting of alkyl, arylalkylenyl, aryloxyalkylenyl, hydroxyalkyl, dihydroxyalkyl,
15 alkylsulfonylalkylenyl, heterocyclalkylenyl wherein heterocyclyl is optionally substituted
by one or more alkyl groups, -X-Y-R₄, and -X-R₅; wherein X is alkylene, Y is

20



14. The compound or salt of claim 12 wherein R" is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and -X-N(R₈)-C(R₆)-N(R₈)-R₄ wherein X is C₁₋₄ alkylene, and R₄ is C₁₋₄ alkyl.

15. A compound of the formula (II):



wherein:

R₃ is selected from the group consisting of:

- 5 -Z-Ar,
- Z-Ar'-Y-R₄,
- Z-Ar'-X-Y-R₄,
- Z-Ar'-R₅, and
- Z-Ar'-X-R₅;

10 Z is selected from the group consisting of a bond, alkylene, alkenylene, and alkynylene wherein alkylene, alkenylene, and alkynylene are optionally interrupted with -O-;

15 Ar is selected from the group consisting of aryl and heteroaryl both of which can be unsubstituted or can be substituted by one or more substituents independently selected from the group consisting of alkyl, alkenyl, alkoxy, methylenedioxy, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, hydroxyalkyl, mercapto, cyano, carboxy, formyl, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, heterocyclylalkylenyl, amino, alkylamino, and dialkylamino;

20 Ar' is selected from the group consisting of arylene and heteroarylene both of which can be unsubstituted or can be substituted by one or more substituents independently selected from the group consisting of alkyl, alkenyl, alkoxy, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, hydroxyalkyl, mercapto, cyano, carboxy, formyl, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, heterocyclylalkylenyl, amino, alkylamino, and dialkylamino;

25 R is selected from the group consisting of alkyl, alkoxy, hydroxy, halogen, and trifluoromethyl;

n is 0 or 1;

R₁ is selected from the group consisting of:

-R₄,
-X-R₄,
-X-Y-R₄,
-X-Y-X-Y-R₄, and
-X-R₅;

5

R₂ is selected from the group consisting of:

-R₄,
-X-R₄,
-X-Y-R₄, and
-X-R₅;

10

each X is independently selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted by arylene, heteroarylene or heterocyclylene or by one or more -O- groups;

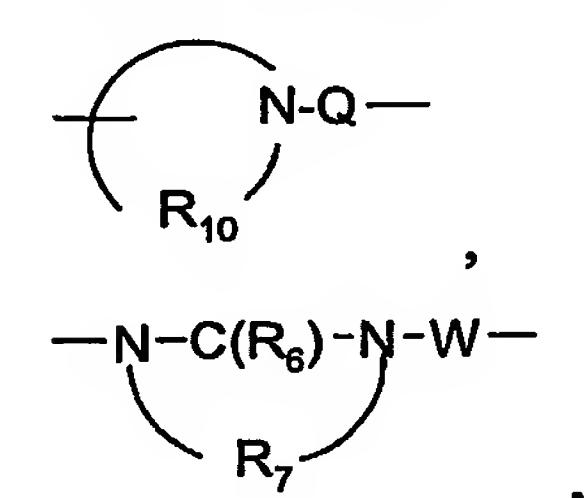
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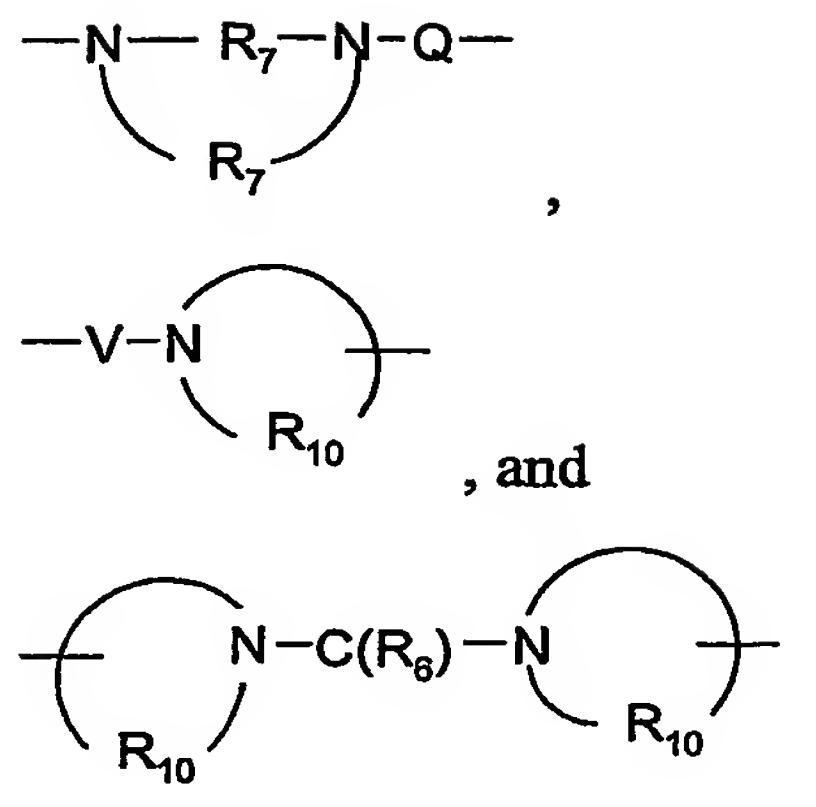
each Y is independently selected from the group consisting of:

-S(O)₀₋₂-,
-S(O)₂-N(R₈)-,
-C(R₆)-,
-C(R₆)-O-,
-O-C(R₆)-,
-O-C(O)-O-,
-N(R₈)-Q-,
-C(R₆)-N(R₈)-,
-O-C(R₆)-N(R₈)-,
-C(R₆)-N(OR₉)-,

20

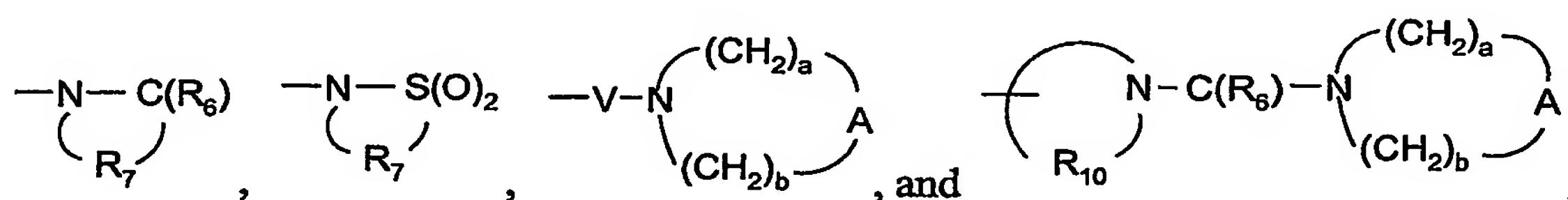
25





each R_4 is independently selected from the group consisting of hydrogen, alkyl,
 5 alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl,
 heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein
 the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl,
 heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups
 can be unsubstituted or substituted by one or more substituents independently selected
 10 from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen,
 nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy,
 heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino,
 (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl,
 oxo;

15 each R_5 is independently selected from the group consisting of:



each R_6 is independently selected from the group consisting of =O and =S;

each R_7 is independently C_{2-7} alkylene;

each R_8 is independently selected from the group consisting of hydrogen, alkyl,
 20 alkoxyalkylenyl, and arylalkylenyl;

each R_9 is independently selected from the group consisting of hydrogen and alkyl;

each R_{10} is independently C_{3-8} alkylene;

each A is independently selected from the group consisting of -O-, -C(O)-,
 -S(O)₀₋₂-, -CH₂-, and -N(R_4)-;

each Q is independently selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and -C(R₆)-N(OR₉)-;

each V is independently selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

each W is independently selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; or a pharmaceutically acceptable salt thereof.

10

16. The compound or salt of claim 15 wherein n is 0.

17. The compound or salt of claim 15 or claim 16 wherein R₃ is -Z-Ar'-Y-R₄ or -Z-Ar'-X-Y-R₄.

15

18. The compound or salt of claim 17 wherein X is C₁₋₂ alkylene; Y is -NH-S(O)₂-, -S(O)₂-, -C(O)-, or -C(O)O-; and R₄ is C₁₋₄ alkyl or phenyl.

20

19. The compound or salt of any one of claims 15 through 18 wherein Z is a bond, alkylene, or alkylene interrupted by -O-.

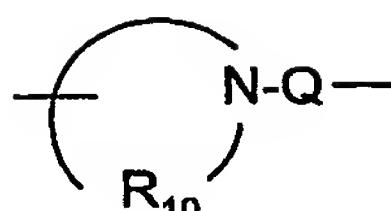
20. The compound or salt of claim 19 wherein Z is C₁₋₃ alkylene.

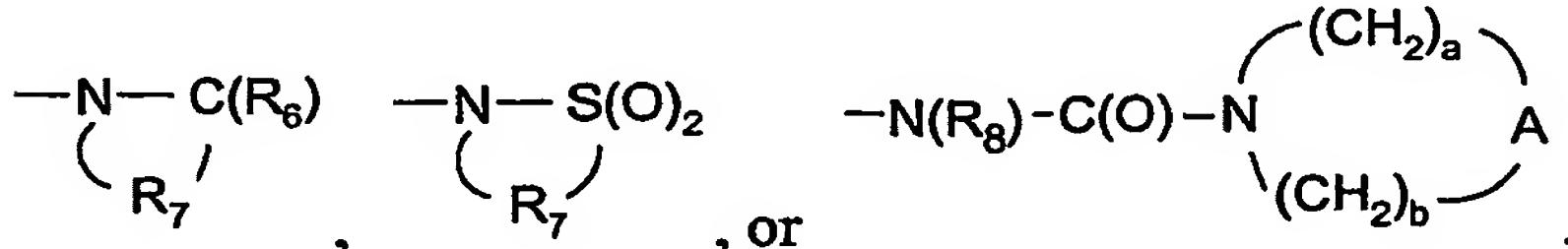
21. The compound or salt of claim 19 wherein Z is a bond.

25

22. The compound or salt of any one of claims 15 through 21 wherein R₁ is selected from the group consisting of alkyl, arylalkylenyl, aryloxyalkylenyl, hydroxyalkyl, dihydroxyalkyl, alkylsulfonylalkylenyl, heterocyclalkylenyl wherein heterocycl is optionally substituted by one or more alkyl groups, -X-Y-R₄, and -X-R₅; wherein X is alkylene, Y is

30

$\text{--N}(\text{R}_8)\text{-C(O)}\text{--}$, $\text{--N}(\text{R}_8)\text{-S(O)}_2\text{--}$, $\text{--N}(\text{R}_8)\text{-C(R}_6\text{)-N(R}_8\text{)--}$, or  ; R_4 is alkyl, aryl, arylalkylenyl, or heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of alkyl, alkoxy, halogen, or dialkylamino; and R_5 is



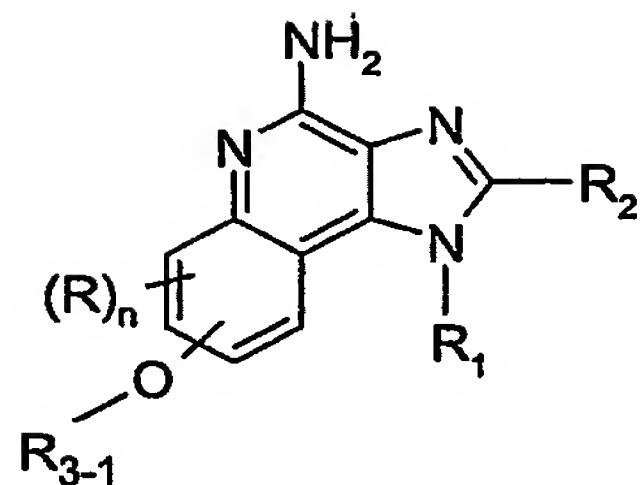
23. The compound or salt of claim 22 wherein R_1 is selected from the group consisting of 2-hydroxy-2-methylpropyl, 2-methylpropyl, propyl, 2,3-dihydroxypropyl, 4-[[(methylsulfonyl)amino]butyl, 2-methyl-2-[(methylsulfonyl)amino]propyl, 2-
10 [(cyclohexylcarbonyl)amino]-2-methylpropyl, 4-(1,1-dioxidoisothiazolidin-2-yl)butyl, tetrahydro-2*H*-pyran-4-ylmethyl, and (2,2-dimethyl-1,3-dioxolan-4-yl)methyl.

24. The compound or salt of any one of claims 15 through 23 wherein R_2 is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and
15 $-\text{X-N}(\text{R}_8)\text{-C(R}_6\text{)-N(R}_8\text{)-R}_4$ wherein X is C_{1-4} alkylene, and R_4 is C_{1-4} alkyl.

25. The compound or salt of claim 24 wherein R_2 is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, methoxymethyl, 2-methoxyethyl, and methylaminocarbonylaminomethyl.

26. The compound or salt of claim 25 wherein R_2 is selected from the group consisting of ethyl, propyl, 2-methoxyethyl, ethoxymethyl, and methoxymethyl.

27. A compound of the formula (III):



wherein:

R_{3-1} is $-Z-Ar$;

5 Z is selected from the group consisting of a bond, alkylene, alkenylene, and alkynylene wherein alkylene, alkenylene, and alkynylene are optionally interrupted with $-O-$;

10 Ar is selected from the group consisting of aryl and heteroaryl both of which can be unsubstituted or can be substituted by one or more substituents independently selected from the group consisting of alkyl, alkenyl, alkoxy, methylenedioxy, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, hydroxyalkyl, mercapto, cyano, carboxy, formyl, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, heterocyclalkylenyl, amino, alkylamino, and dialkylamino;

15 R is selected from the group consisting of alkyl, alkoxy, hydroxy, halogen, and trifluoromethyl;

n is 0 or 1;

R_1 is selected from the group consisting of:

$-R_4$,

$-X-R_4$,

20 $-X-Y-R_4$,

$-X-Y-X-Y-R_4$, and

$-X-R_5$;

R_2 is selected from the group consisting of:

$-R_4$,

$-X-R_4$,

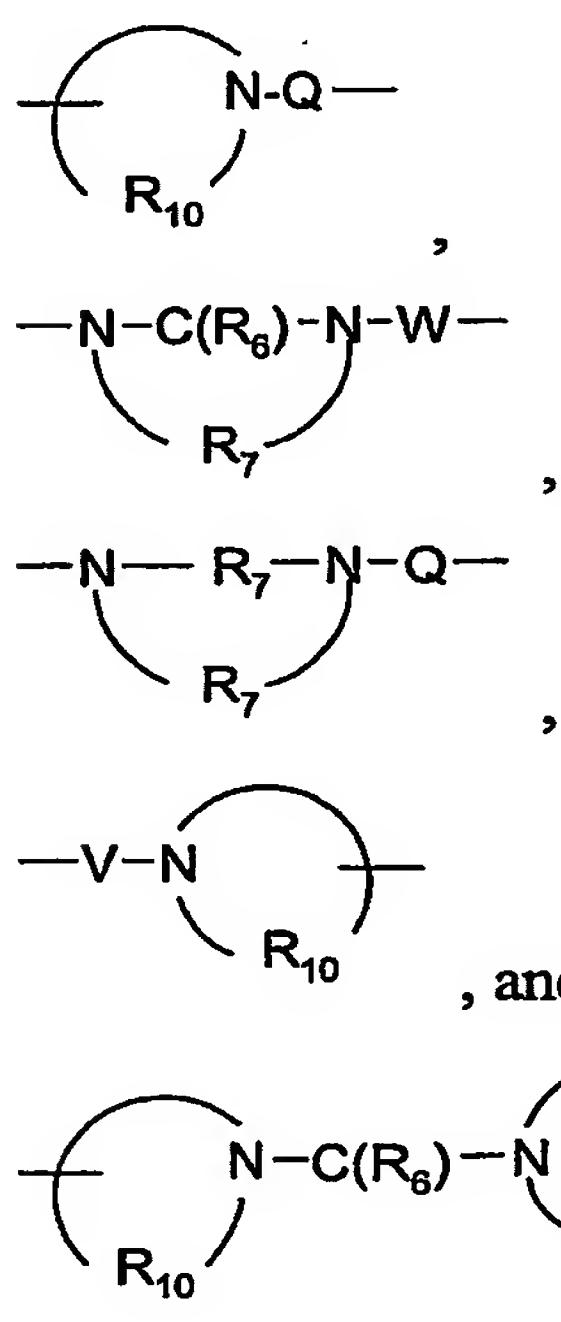
25 $-X-Y-R_4$, and

$-X-R_5$;

each X is independently selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted by arylene, heteroarylene or heterocyclene or by one or more -O- groups;

5 each Y is independently selected from the group consisting of:

- S(O)₀₋₂₋,
- S(O)₂-N(R₈)-,
- C(R₆)-,
- C(R₆)-O-,
- 10 -O-C(R₆)-,
- O-C(O)-O-,
- N(R₈)-Q-,
- C(R₆)-N(R₈)-,
- O-C(R₆)-N(R₈)-,
- 15 -C(R₆)-N(OR₉)-,

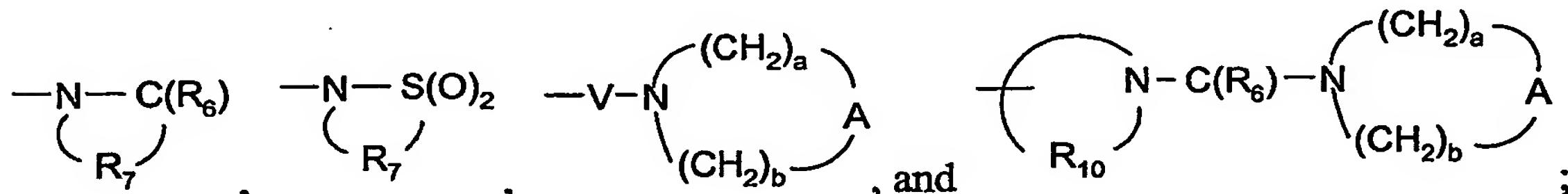


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each R₄ is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl,

heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

each R_5 is independently selected from the group consisting of:



each R₆ is independently selected from the group consisting of =O and =S;

each R₇ is independently C₂₋₇ alkylene;

each R₈ is independently selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

each R₉ is independently selected from the group consisting of hydrogen and alkyl;

each R₁₀ is independently C₃₋₈ alkylene;

each A is independently selected from the group consisting of -O-, -C(O)-,

-S(O)₀₋₂₋, -CH₂-, and -N(R₄)-;

each Q is independently selected from the group consisting of a bond, -C(R₆)-,

$-C(R_6)-C(R_6)-$, $-S(O)_2-$, $-C(R_6)-N(R_8)-W-$, $-S(O)_2-N(R_8)-$, $-C(R_6)-O-$, and

$$-\text{C}(\text{R}_6)-\text{N}(\text{OR}_9)-;$$

each V is independently selected from the group consisting of -C(R₆)-,

-O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

each W is independently selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; and

25 · a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;
or a pharmaceutically acceptable salt thereof.

28. The compound or salt of claim 27 wherein n is 0.

29. The compound or salt of claim 27 or 28 wherein Ar is phenyl or heteroaryl which is unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, nitro, cyano, carboxy, halogen, hydroxyalkyl, amino, alkylamino, dialkylamino, trifluoromethyl, trifluoromethoxy, and thienyl.

5

30. The compound or salt of claim 29 wherein heteroaryl is selected from the group consisting of benzothiazolyl, furanyl, imidazolyl, indolyl, isoxazolyl, oxadiazolyl, pyrazinyl, pyridinyl, pyrrolyl, thiazolyl, and thienyl.

10

31. The compound or salt of any one of claims 27 through 30 wherein Z is a bond, alkylene, or alkylene interrupted by -O-.

32. The compound or salt of claim 31 wherein Z is C₁₋₃ alkylene.

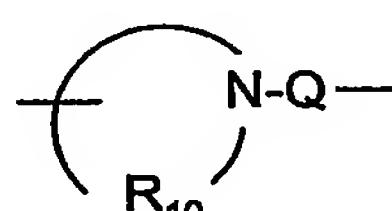
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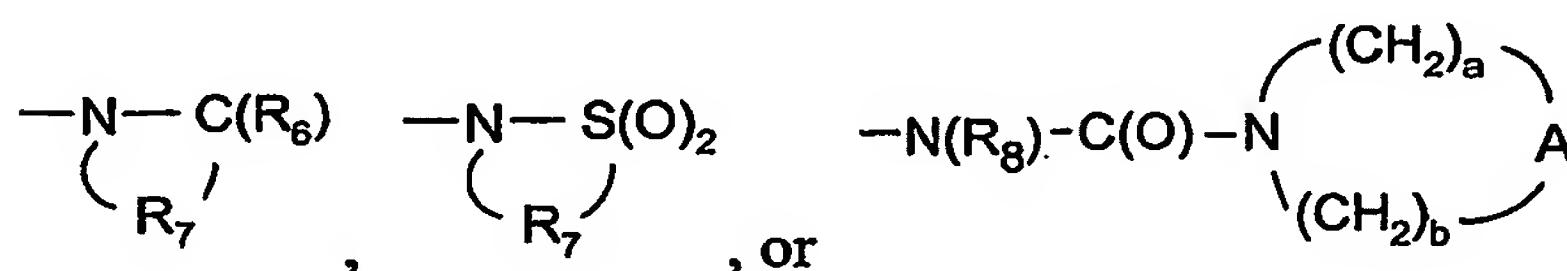
33. The compound or salt of claim 31 wherein Z is a bond.

34. The compound or salt of any one of claims 27 through 33 wherein R₁ is selected from the group consisting of alkyl, arylalkylenyl, aryloxyalkylenyl, hydroxyalkyl, dihydroxyalkyl, alkylsulfonylalkylenyl, heterocyclalkylenyl wherein heterocyclyl is optionally substituted by one or more alkyl groups, -X-Y-R₄, and -X-R₅; wherein X is alkylene, Y is

20

25

-N(R₈)-C(O)-, -N(R₈)-S(O)₂-, -N(R₈)-C(R₆)-N(R₈)-, or  ; R₄ is alkyl, aryl, arylalkylenyl, or heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of alkyl, alkoxy, halogen, or dialkylamino; and R₅ is



35. The compound or salt of claim 34 wherein R₁ is selected from the group consisting of 2-hydroxy-2-methylpropyl, 2-methylpropyl, propyl, 2,3-dihydroxypropyl, 4-[(methylsulfonyl)amino]butyl, 2-methyl-2-[(methylsulfonyl)amino]propyl, 2-[(cyclohexylcarbonyl)amino]-2-methylpropyl, 4-(1,1-dioxidoisothiazolidin-2-yl)butyl, 5 tetrahydro-2*H*-pyran-4-ylmethyl, and (2,2-dimethyl-1,3-dioxolan-4-yl)methyl.

36. The compound or salt of any one of claims 27 through 35 wherein R₂ is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and -X-N(R₈)-C(R₆)-N(R₈)-R₄ wherein X is C₁₋₄ alkylene, and R₄ is C₁₋₄ alkyl.

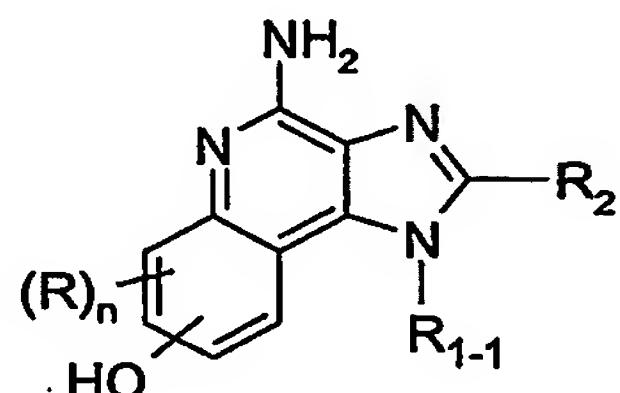
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37. The compound or salt of claim 36 wherein R₂ is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, methoxymethyl, 2-methoxyethyl, and methylaminocarbonylaminomethyl.

15

38. The compound or salt of claim 37 wherein R₂ is selected from the group consisting of ethyl, propyl, 2-methoxyethyl, ethoxymethyl, and methoxymethyl.

39. A compound of the formula (VII):



20

VII

wherein:

R is selected from the group consisting of alkyl, alkoxy, hydroxy, halogen, and trifluoromethyl;

n is 0 or 1;

25

R₁₋₁ is selected from the group consisting of:

- R₄₋₁,
- X'-R₄₋₁,
- X'-Y'-R₄,

-X'-Y'-X-Y-R₄, and

-X'-R₅;

R₂ is selected from the group consisting of:

-R₄,

5 -X-R₄,

-X-Y-R₄, and

-X-R₅;

each X is independently selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted by arylene, heteroarylene or heterocyclene or by one or more -O- groups;

10 X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted by an arylene, heteroarylene or heterocyclene group;

15 each Y is independently selected from the group consisting of:

-S(O)₀₋₂₋,

-S(O)₂-N(R₈)-,

-C(R₆)-,

20 -C(R₆)-O-,

-O-C(R₆)-,

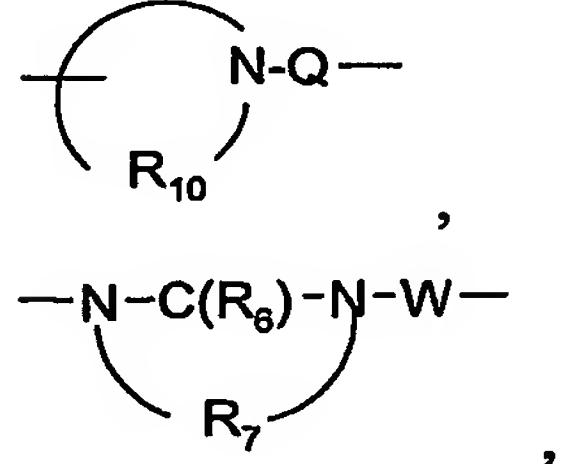
-O-C(O)-O-,

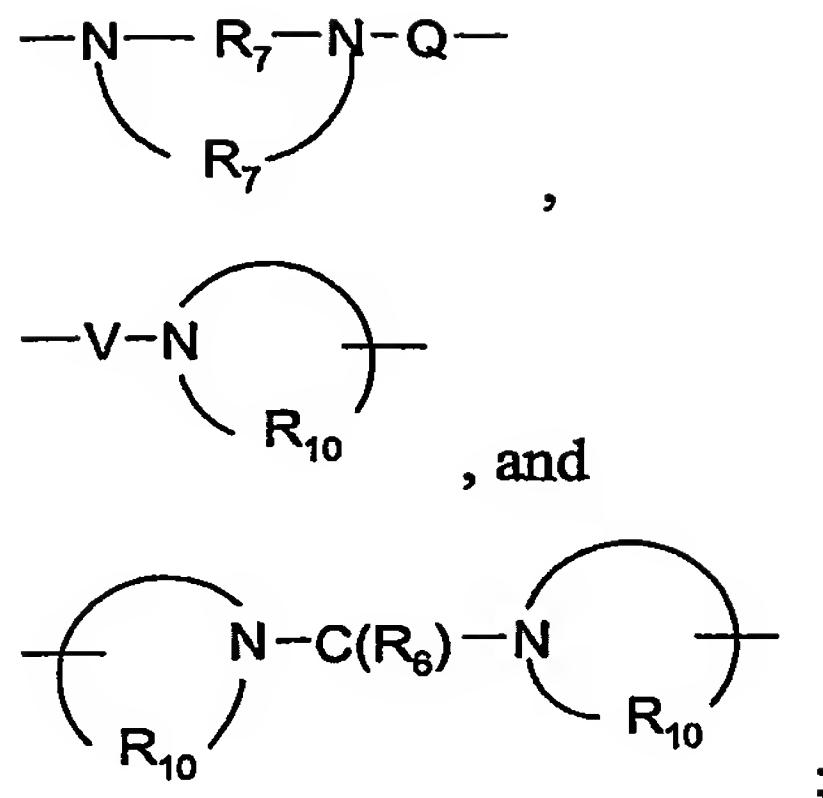
-N(R₈)-Q-,

-C(R₆)-N(R₈)-,

25 -O-C(R₆)-N(R₈)-,

-C(R₆)-N(OR₉)-,





Y' is selected from the group consisting of:

5 $-S(O)_2-N(R_8)-$,

$-C(R_6)-$,

$-C(R_6)-O-$,

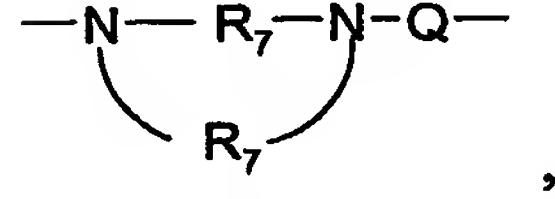
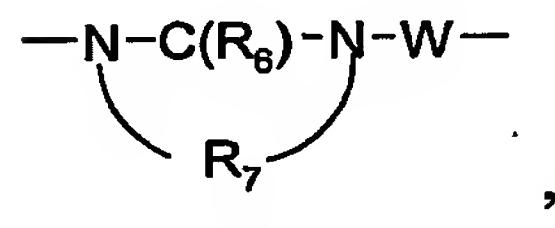
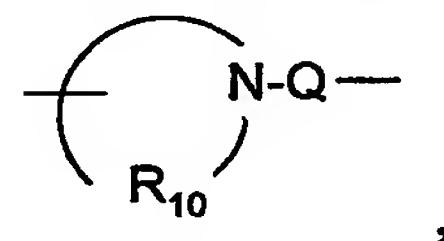
$-O-C(O)-O-$,

$-N(R_8)-Q-$,

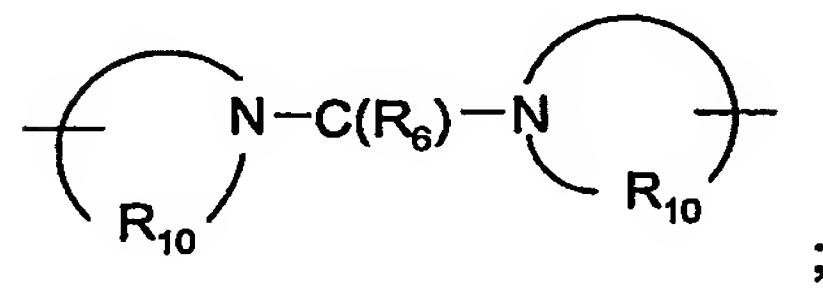
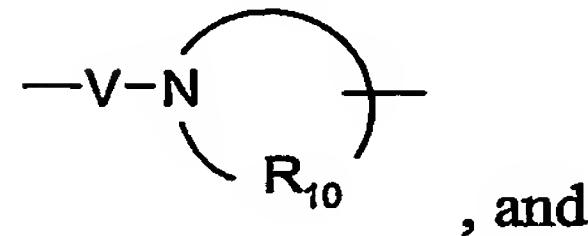
10 $-C(R_6)-N(R_8)-$,

$-O-C(R_6)-N(R_8)-$,

$-C(R_6)-N(OR_9)-$,



15 ;

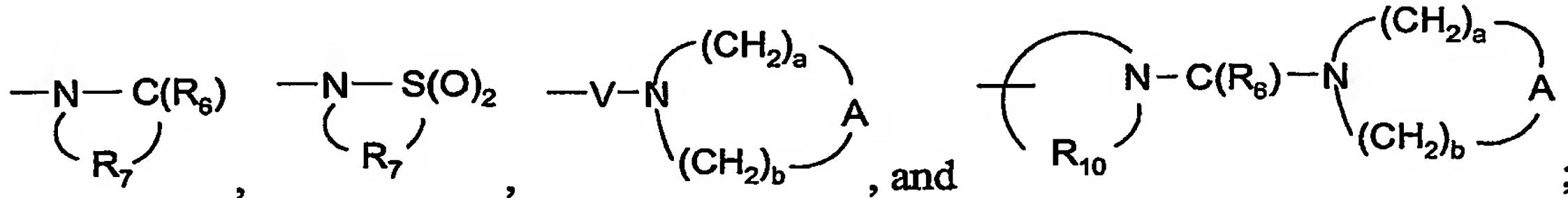


each R_4 is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl,

heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

10 $R_{4,1}$ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, heteroaryl, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

each R_5 is independently selected from the group consisting of:



20 each R_6 is independently selected from the group consisting of =O and =S;
each R_7 is independently C_{2-7} alkylene;
each R_8 is independently selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

25 each R_9 is independently selected from the group consisting of hydrogen and alkyl;
each R_{10} is independently C_{3-8} alkylene;
each A is independently selected from the group consisting of -O-, -C(O)-, -S(O)₀₋₂-, -CH₂-, and -N(R_4)-;
each Q is independently selected from the group consisting of a bond, -C(R_6)-,

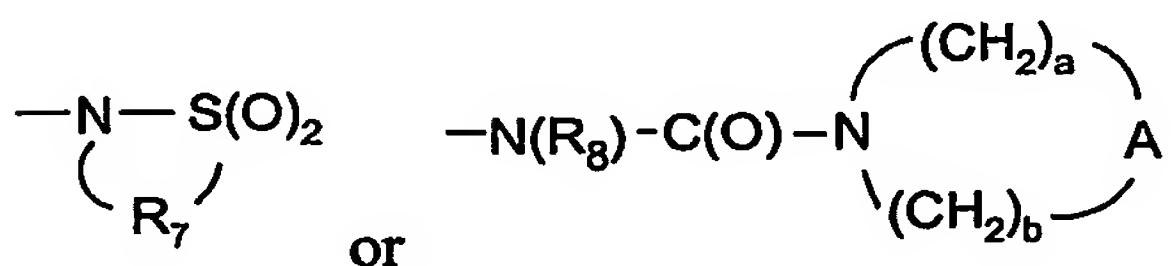
-C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and
-C(R₆)-N(OR₉)-;

each V is independently selected from the group consisting of -C(R₆)-,
-O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

5 each W is independently selected from the group consisting of a bond, -C(O)-, and
-S(O)₂-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;
or a pharmaceutically acceptable salt thereof; with the proviso that when R₁₋₁ is hydrogen
or 2-methylpropyl, R₂ is other than hydrogen, and with the further proviso that when R₁₋₁
10 is 2-methylpropenyl or 2-hydroxy-2-methylpropyl, R₂ is other than methyl, ethoxymethyl,
and hydroxymethyl.

40. The compound or salt of claim 39 wherein R₁₋₁ is selected from the group
consisting of alkyl, arylalkylenyl, hydroxyalkyl, dihydroxyalkyl, heterocyclalkylenyl
15 wherein heterocyclyl is optionally substituted by one or more alkyl groups, -X'-Y'-R₄, and
-X'-R₅; wherein X' is alkylene; Y' is -N(R₈)-Q-, and Q is selected from the group
consisting of -C(R₆)-, -S(O)₂-, and -C(R₆)-N(R₈)-W-; R₄ is alkyl, aryl, arylalkylenyl, or
heteroaryl, each of which is optionally substituted by one or more substituents selected
from the group consisting of alkyl, alkoxy, halogen, or dialkylamino; and R₅ is



41. The compound or salt of claim 40 wherein R₁₋₁ is selected from the group
consisting of 2-hydroxy-2-methylpropyl, 2-methylpropyl, propyl, 2,3-dihydroxypropyl, 4-
[(methylsulfonyl)amino]butyl, 2-methyl-2-[(methylsulfonyl)amino]propyl, 2-
25 [(cyclohexylcarbonyl)amino]-2-methylpropyl, 4-(1,1-dioxidoisothiazolidin-2-yl)butyl,
tetrahydro-2H-pyran-4-ylmethyl, and (2,2-dimethyl-1,3-dioxolan-4-yl)methyl.

42. The compound or salt of any one of claims 39 through 41 wherein n is 0.

43. The compound or salt of any one of claims 39 through 42 wherein R₂ is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and -X-N(R₈)-C(R₆)-N(R₈)-R₄ wherein X is C₁₋₄ alkylene, and R₄ is C₁₋₄ alkyl.

5 44. The compound or salt of claim 43 wherein R₂ is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, methoxymethyl, 2-methoxyethyl, and methylaminocarbonylaminomethyl.

10 45. The compound or salt of claim 44 wherein R₂ is selected from the group consisting of ethyl, propyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.

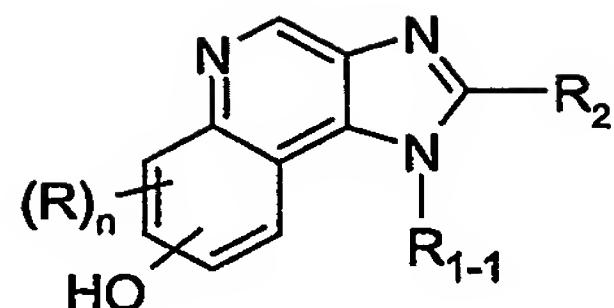
15 46. A pharmaceutical composition comprising a therapeutically effective amount of a compound or salt of any one of claims 1 through 45 in combination with a pharmaceutically acceptable carrier.

47. A method of inducing cytokine biosynthesis in an animal comprising administering an effective amount of a compound or salt of any one of claims 1 through 45 to the animal.

20 48. A method of treating a viral disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of any one of claims 1 through 45 to the animal.

25 49. A method of treating a neoplastic disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of any one of claims 1 through 45 to the animal.

50. A compound of the formula (IX):



IX

wherein:

R is selected from the group consisting of alkyl, alkoxy, hydroxy, halogen, and trifluoromethyl;

n is 0 or 1;

R₁₋₁ is selected from the group consisting of:

-R₄₋₁,

-X'-R₄₋₁,

-X'-Y'-R₄,

-X'-Y'-X-Y-R₄, and

-X'-R₅;

R₂ is selected from the group consisting of:

-R₄,

-X-R₄,

-X-Y-R₄, and

-X-R₅;

each X is independently selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted by arylene, heteroarylene or heterocyclene or by one or more -O- groups;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted by an arylene, heteroarylene or heterocyclene group;

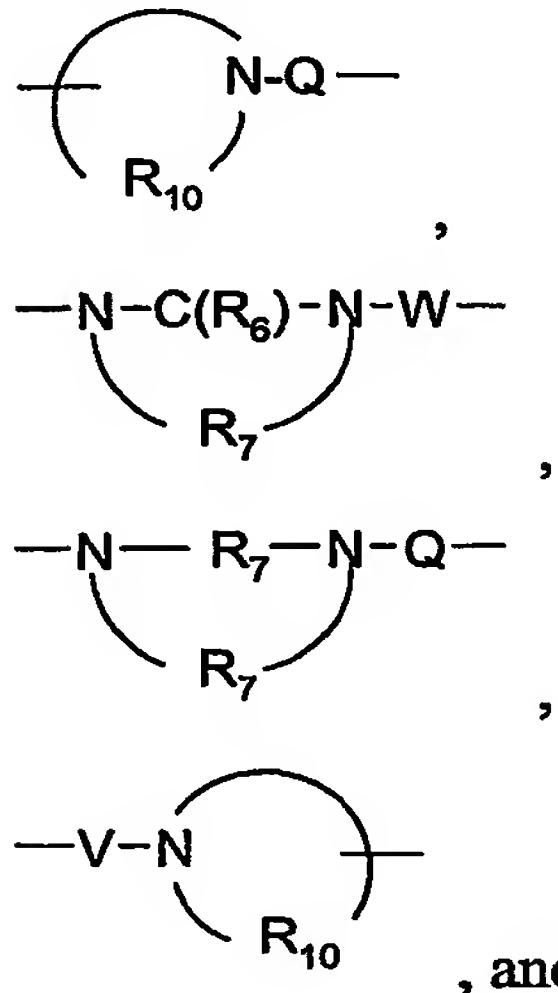
each Y is independently selected from the group consisting of:

-S(O)₀₋₂₋,

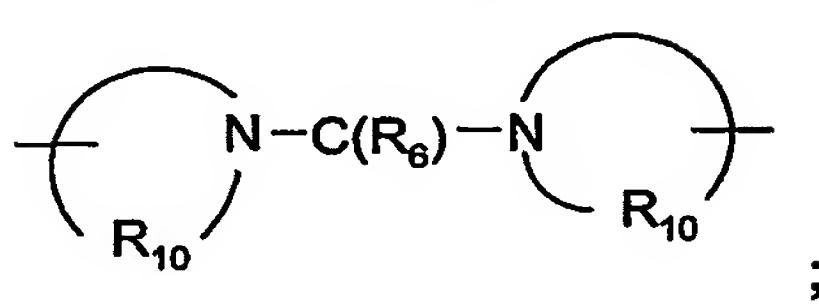
-S(O)₂-N(R₈)-,

-C(R₆)-,

-C(R₆)-O-,
 -O-C(R₆)-,
 -O-C(O)-O-,
 -N(R₈)-Q-,
 5 -C(R₆)-N(R₈)-,
 -O-C(R₆)-N(R₈)-,
 -C(R₆)-N(OR₉)-,

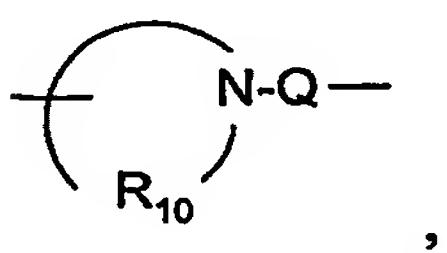


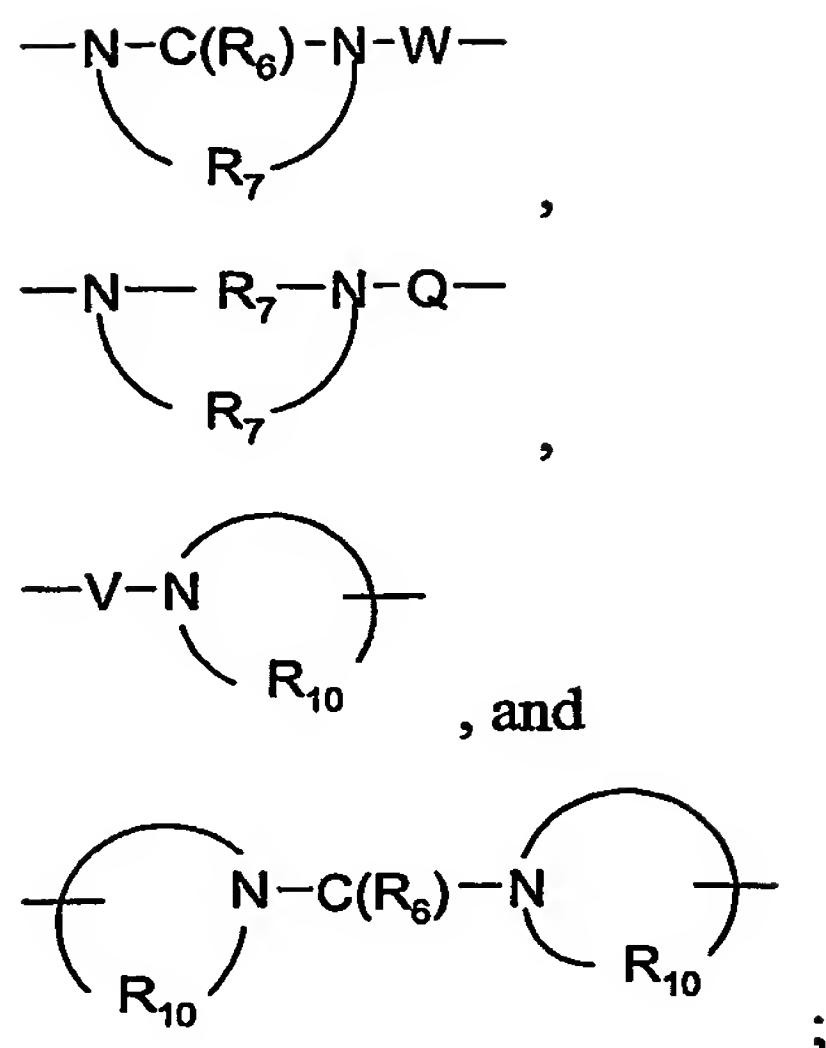
10 ,



Y' is selected from the group consisting of:

-S(O)₂-N(R₈)-,
 15 -C(R₆)-,
 -C(R₆)-O-,
 -O-C(O)-O-,
 -N(R₈)-Q-,
 -C(R₆)-N(R₈)-,
 20 -O-C(R₆)-N(R₈)-,
 -C(R₆)-N(OR₉)-,

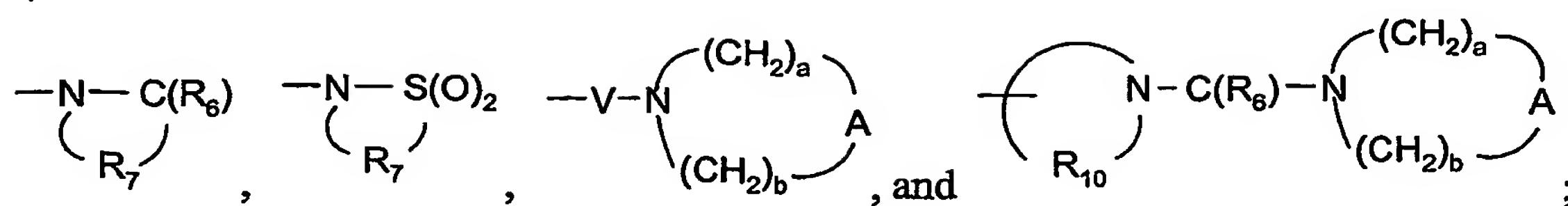




5 each R_4 is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, 10 oxo;

15 $R_{4.1}$ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, 20 hydroxy, mercapto, cyano, aryl, heteroaryl, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

25 each R_5 is independently selected from the group consisting of:



each R_6 is independently selected from the group consisting of =O and =S;

each R_7 is independently C_{2-7} alkylene;

each R_8 is independently selected from the group consisting of hydrogen, alkyl,
5 alkoxyalkylenyl, and arylalkylenyl;

each R_9 is independently selected from the group consisting of hydrogen and alkyl;

each R_{10} is independently C_{3-8} alkylene;

each A is independently selected from the group consisting of -O-, -C(O)-,
-S(O)0-2-, -CH₂-, and -N(R₄)-;

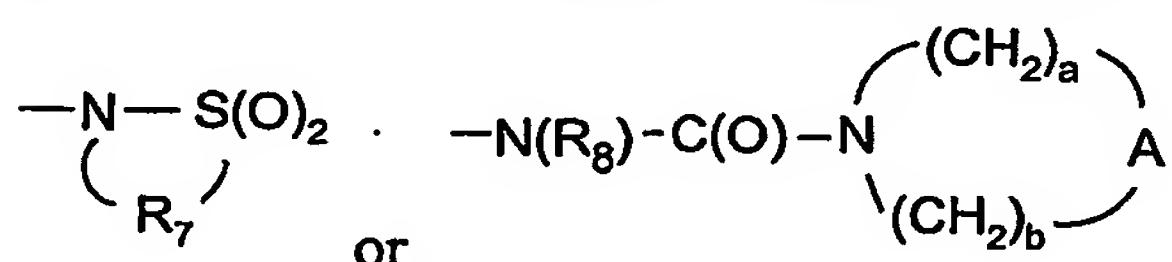
10 each Q is independently selected from the group consisting of a bond, -C(R₆)-,
-C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and
-C(R₆)-N(OR₉)-;

each V is independently selected from the group consisting of -C(R₆)-,
-O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-;

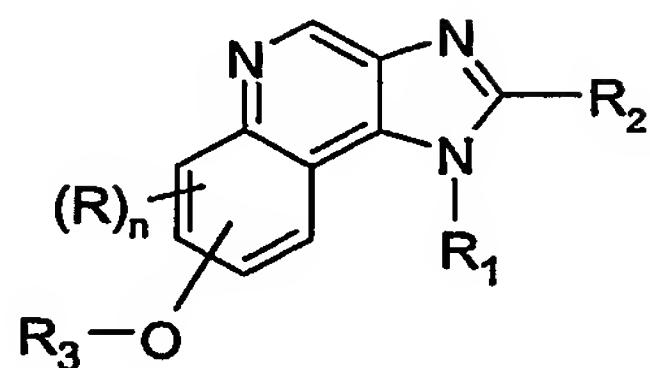
15 each W is independently selected from the group consisting of a bond, -C(O)-, and
-S(O)₂-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7 ;
or a pharmaceutically acceptable salt thereof.

20 51. The compound or salt of claim 50 wherein R_{1-1} is selected from the group
consisting of alkyl, arylalkylenyl, hydroxyalkyl, dihydroxyalkyl, heterocyclalkylenyl
wherein heterocyclyl is optionally substituted by one or more alkyl groups, -X'-Y'-R₄, and
-X'-R₅; wherein X' is alkylene; Y' is -N(R₈)-Q-; and Q is selected from the group
consisting of -C(R₆)-, -S(O)₂-, and -C(R₆)-N(R₈)-W-; R₄ is alkyl, aryl, arylalkylenyl, or
25 heteroaryl, each of which is optionally substituted by one or more substituents selected
from the group consisting of alkyl, alkoxy, halogen, or dialkylamino; and R₅ is



52. A compound of the formula (XI):



XI

5 wherein:

R₃ is selected from the group consisting of:

- Z-Ar,
- Z-Ar'-Y-R₄,
- Z-Ar'-X-Y-R₄,
- 10 -Z-Ar'-R₅, and
- Z-Ar'-X-R₅;

Z is selected from the group consisting of a bond, alkylene, alkenylene, and alkynylene wherein alkylene, alkenylene, and alkynylene are optionally interrupted with -O-;

15 Ar is selected from the group consisting of aryl and heteroaryl both of which can be unsubstituted or can be substituted by one or more substituents independently selected from the group consisting of alkyl, alkenyl, alkoxy, methylenedioxy, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, hydroxyalkyl, mercapto, cyano, carboxy, formyl, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, 20 heterocyclalkylenyl, amino, alkylamino, and dialkylamino;

Ar' is selected from the group consisting of arylene and heteroarylene both of which can be unsubstituted or can be substituted by one or more substituents independently selected from the group consisting of alkyl, alkenyl, alkoxy, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, hydroxyalkyl, mercapto, cyano, carboxy, formyl, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, 25 heterocyclalkylenyl, amino, alkylamino, and dialkylamino;

R is selected from the group consisting of alkyl, alkoxy, hydroxy, halogen, and trifluoromethyl;

n is 0 or 1;

R₁ is selected from the group consisting of:

- R₄,
- X-R₄,
- 5 -X-Y-R₄,
- X-Y-X-Y-R₄, and
- X-R₅;

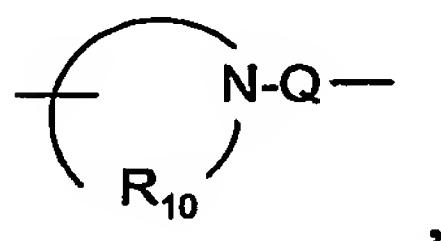
R₂ is selected from the group consisting of:

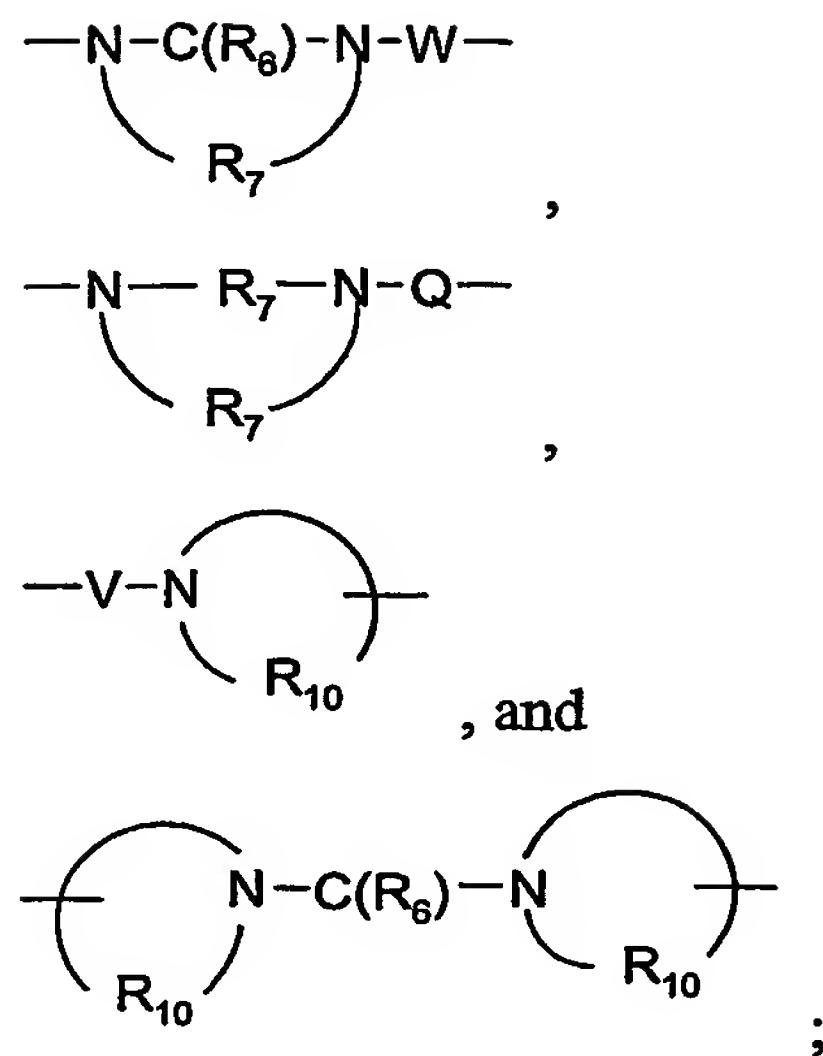
- R₄,
- 10 -X-R₄,
- X-Y-R₄, and
- X-R₅;

each X is independently selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted by arylene, heteroarylene or heterocyclene or by one or more -O- groups;

each Y is independently selected from the group consisting of:

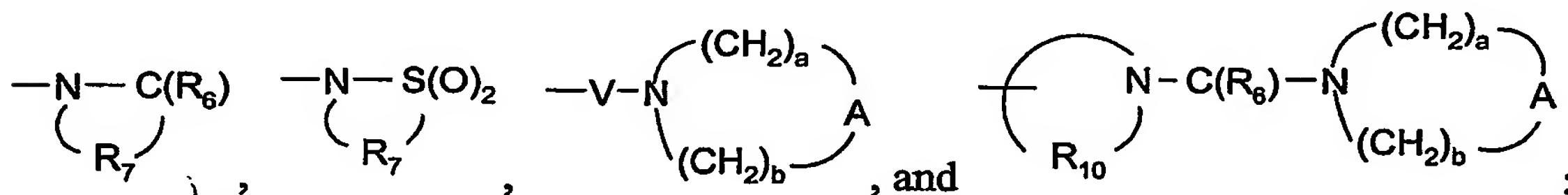
- S(O)₀₋₂-,
- S(O)₂-N(R₈)-,
- 20 -C(R₆)-,
- C(R₆)-O-,
- O-C(R₆)-,
- O-C(O)-O-,
- N(R₈)-Q-,
- 25 -C(R₆)-N(R₈)-,
- O-C(R₆)-N(R₈)-,
- C(R₆)-N(OR₉)-,





5 each R_4 is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups
10 can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl,
15 oxo;

each R_5 is independently selected from the group consisting of:



each R_6 is independently selected from the group consisting of $=\text{O}$ and $=\text{S}$;
each R_7 is independently C_{2-7} alkylene;
20 each R_8 is independently selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;
each R_9 is independently selected from the group consisting of hydrogen and alkyl;
each R_{10} is independently C_{3-8} alkylene;

each A is independently selected from the group consisting of -O-, -C(O)-, -S(O)₀₋₂-, -CH₂-, and -N(R₄)-;

each Q is independently selected from the group consisting of a bond, -C(R₆)-, -C(R₆)-C(R₆)-, -S(O)₂-, -C(R₆)-N(R₈)-W-, -S(O)₂-N(R₈)-, -C(R₆)-O-, and

5 -C(R₆)-N(OR₉)-;

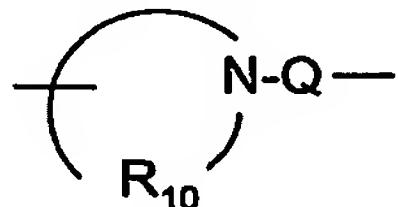
each V is independently selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-, and

each W is independently selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; and

10 a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; or a pharmaceutically acceptable salt thereof.

53. The compound or salt of claim 52 wherein R₃ is benzyl.

15 54. The compound or salt of claim 52 or claim 53 wherein R₁ is selected from the group consisting of alkyl, arylalkylenyl, aryloxyalkylenyl, hydroxyalkyl, alkylsulfonylalkylenyl, heterocyclalkylenyl wherein heterocyclyl is optionally substituted by one or more alkyl groups, -X-Y-R₄, and -X-R₅; wherein X is alkylene, Y is

20 -N(R₈)-C(O)-, -N(R₈)-S(O)₂-, -N(R₈)-C(O)-N(R₈)-, or  ; R₄ is alkyl, aryl, arylalkylenyl, or heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of alkyl, alkoxy, halogen, or dialkylamino; and R₅ is

